

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
13 October 2005 (13.10.2005)

PCT

(10) International Publication Number
WO 2005/094822 A1

(51) International Patent Classification⁷: **A61K 31/4406**,
31/44, C07D 213/16, 213/18, 213/85, 213/89, 213/61,
213/65, A61P 25/28, 29/00

(21) International Application Number:
PCT/US2005/007507

(22) International Filing Date: 9 March 2005 (09.03.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/555,137 22 March 2004 (22.03.2004) US

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(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

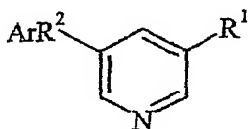
(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

— as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)

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(54) Title: PYRIDYL DERIVATIVES AND THEIR USE AS MGLU5 RECEPTOR ANTAGONISTS



(1)

(57) Abstract: The present invention is directed toward pyridyl derivatives of formula (I) as antagonists of the mGlu5 receptor. As such the compounds may be useful for treatment or prevention of disorders remedied by antagonism of the mGlu5 receptor, wherein Ar is phenyl or naphthyl each of which may be substituted by one or more C₁-C₄ alkyl, C₁-C₄ alkoxy, C₁-C₅ acyl, halo, amino, nitro, cyano, hydroxy, C₁-C₅ acylamino, C₁-C₄ alkylsulfonylamino, mono-, di- or trifluorinated C₁-C₃ alkyl, substituents which may be the same or different and may bear a CONH₂, CONHCH₃, CON(CH₃)₂, CO₂H, CO₂CH₃, OCF₃, CH₂NHCOCH₃, CH₂NH₂, CH₂N(CH₃)₂, CH₂CN, CH₂OH, CH₂NHSO₂CH₃, CH₂N(CH₃)(CH₂)₂ CN, CH₂N(CH₃)CH(CH₃)₂, CH₂NHCH(CH₃)₂, CH₂NH(CH₂)₂CH₃, CH₂NHCO₂R⁴, CH₂NHCH₂CH₃, CH₂NHCH₃ NHCOC(CH₃)₂, or N(S(O)₂CH₃)₂

substituent; R¹ is hydrogen, halo, R⁴, CN, C(NO⁺H)R³, C(NO⁺-R⁴)R³, (CH₂)₂CO₂R⁴, (CH₂)_n OR³, COR³, CF₃, SR⁴, S(O)R⁴, S(O)₂R⁴, COCH₂CO₂R³, NHSO₂R⁴, NHCOR³, C(NOR³)NH₂, CH₂OCOR³, (CH₂)_n NH₂, CON(CH₃)₂ (CH₂)_nNHCO₂R⁴, CO₂R³, CONH₂, CSNH₂, C(NH)NHOR³, (CH₂)_nN(CH₃)₂, or CONHNHCOR³; R² is 1,2-ethenediyl or 1,2-ethynediyl; R³ is hydrogen or C₁-C₄ alkyl; R⁴ is C₁-C₄ alkyl; and n is 0, 1, 2, 3 or 4; or a pharmaceutically acceptable salt thereof, or an N-oxide thereof.



— as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT,

BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)

— of inventorship (Rule 4.17(iv)) for US only

Published:

— with international search report

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